In the Claims

1. (original) A method of treating Celiac Sprue and/or dermatitis herpetiformis, the method comprising:

administering to a patient an effective dose of a tTGase inhibitor;

wherein said tTGase inhibitor attenuates gluten toxicity in said patient.

2. (original) The method of Claim 1, wherein said tTGase inhibitor is or comprises a dihydroisoxazole moiety or is an analog of isatin.

3. (original) The method of Claim 1, wherein said tTGase inhibitor is administered with a glutenase.

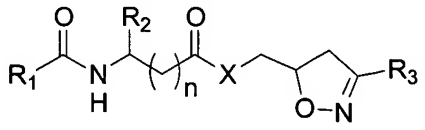
4. (original) The method according to Claim 1, wherein said tTGase inhibitor is administered orally.

5. (original) The method according to Claim 1, wherein said tTGase inhibitor is contained in a formulation that comprises an enteric coating.

6. (original) A formulation for use in treatment of Celiac Sprue and/or dermatitis herpetiformis, comprising:

an effective dose of a tTGase inhibitor and a pharmaceutically acceptable excipient.

7. (currently amended) The formulation of Claim 6, wherein said tTGase inhibitory moiety is:



wherein R_1 and R_2 are independently selected from H, alkyl, alkenyl, cycloalkyl, aryl, heteroalkyl, heteroaryl, alkoxy, alkylthio, arakyl, aralkenyl, halo, haloalkyl, haloalkoxy, heterocyclyl, and heterocyclylalkyl groups, an amino acid, a peptide, a peptidomimetic, or a peptidic protecting group; wherein R_2 can additionally be selected from the group consisting of (SEQ ID NO:1) LPYPQPQLPY, (SEQ ID NO:2) LPFPQPQLPF-NH_2, (SEQ ID NO:3) LPYPQPQLP, (SEQ ID NO:4) LPYPQPQLPYPQPQPF, LP-$X_{2\text{-}15}$, where $X_{2\text{-}15}$ is a peptide consisting of any 2-15 amino acid residues followed by a C-terminal proline; R_3 is selected from F, I, Cl, and Br; n is from 0 to 10; and X is selected from the group consisting of O and NH.

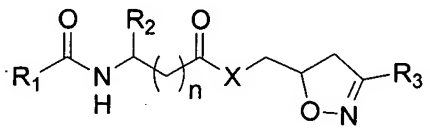
8. (currently amended) The formulation of Claim 7, wherein R_1 is selected from the group consisting of BnO, Me, Cbz, Fmoc, Boc, PQP, Ac-PQP, (SEQ ID NO:5) PQPQLPYPQP, (SEQ ID NO:6) Ac-PQPQLPFPQP, (SEQ ID NO:7) QLQPFPQP, (SEQ ID NO:8) LQLQPFPQPLPYPQP, $X_{2\text{-}15}$-P, where $X_{2\text{-}15}$ is a peptide consisting of any 2-15 amino acid residues followed by a N-terminal proline.

9. (original) The formulation of Claim 7, wherein R_2 is selected from the group consisting of (S)-Bn, (S)-CO_2Me, (S)-Me, (R)-Bn, (S)-CH_2CONHBn, (S)-(1*H*-inol-yl)-methyl, (S)-(4-hydrohy-phenyl)-methyl, OMe, OtBu, Gly, Gly-NH_2, LPY, LPF-NH_2.

10. (original) The formulation inhibitor of Claim 7, wherein said tTGase inhibitor is selected from the group consisting of:

{(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; (S)-2-Benzyloxycarbonylamino-4-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-butyric acid methyl ester; (S)-2-Benzyloxycarbonylamino-*N*-(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-succinamic acid methyl ester; (S)-2-Benzyloxycarbonylamino-3-phenyl-propionic acid 3-bromo-4,5-dihydro-isoxazol-5-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; (S)-2-Acetylamino-*N*-(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-phenyl-propionamide; {(R)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; {(S)-2-Benzylcarbamoyl-1-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(1*H*-indol-3-yl)-ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methyl-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; and [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methyl-carbamoyl]-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid benzyl ester.

11. (currently amended) The method according to Claim 1, wherein said tTGase inhibitor has the formula:



wherein R_1 and R_2 are independently selected from H, alkyl, alkenyl, cycloalkyl, aryl, heteroalkyl, heteroaryl, alkoxy, alkylthio, arakyl, aralkenyl, halo, haloalkyl, haloalkoxy, heterocyclyl, and heterocyclylalkyl groups, an amino acid, a peptide, a peptidomimetic, or a peptidic protecting group; wherein R_2 can additionally be selected from the group consisting of (SEQ ID NO:1) LPYPQPQLPY,

(SEQ ID NO:2) LPFPQPQLPF-NH_2, (SEQ ID NO:3) LPYPQPQLP, (SEQ ID NO:4) LPYPQPQLPYPQPQPF, LP-$X_{2\text{-}15}$, where $X_{2\text{-}15}$ is a peptide consisting of any 2-15 amino acid residues followed by a C-terminal proline; R_3 is selected from F, I, Cl, and Br; n is from 0 to 10; and X is selected from the group consisting of O and NH.

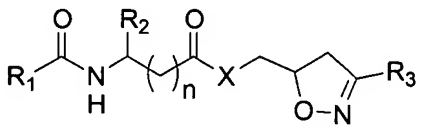
12. (currently amended) The method of Claim 11, wherein R_1 is selected from the group consisting of BnO, Me, Cbz, Fmoc, Boc, PQP, Ac-PQP, (SEQ ID NO:5) PQPQLPYPQP, (SEQ ID NO:6) Ac-PQPQLPFPQP, (SEQ ID NO:7) QLQPFPQP, (SEQ ID NO:8) LQLQPFPQPLPYPQP, $X_{2\text{-}15}$-P, where $X_{2\text{-}15}$ is a peptide consisting of any 2-15 amino acid residues followed by a N-terminal proline.

13. (original) The method of Claim 11, wherein R_2 is selected from the group consisting of (S)-Bn, (S)-CO_2Me, (S)-Me, (R)-Bn, (S)-CH_2CONHBn, (S)-(1*H*-inol-yl)-methyl, (S)-(4-hydrohy-phenyl)-methyl, OMe, OtBu, Gly, Gly-NH_2, LPY, LPF-NH_2.

14. (original) The method according to Claim 11, wherein said tTGase inhibitor is selected from the group consisting of:

{(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; (S)-2-Benzyloxycarbonylamino-4-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-butyric acid methyl ester; (S)-2-Benzyloxycarbonylamino-*N*-(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-succinamic acid methyl ester; (S)-2-Benzyloxycarbonylamino-3-phenyl-propionic acid 3-bromo-4,5-dihydro-isoxazol-5-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; (S)-2-Acetylamino-*N*-(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-phenyl-propionamide; {(R)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; {(S)-2-Benzylcarbamoyl-1-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(1*H*-indol-3-yl)-ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methyl-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; and [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methyl-carbamoyl]-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid benzyl ester.

15. (currently amended) A tTGase inhibitor of the formula:



wherein R_1 and R_2 are independently selected from H, alkyl, alkenyl, cycloalkyl, aryl, heteroalkyl, heteroaryl, alkoxy, alkylthio, arakyl, aralkenyl, halo, haloalkyl, haloalkoxy, heterocyclyl, and heterocyclylalkyl groups, an amino acid, a peptide, a peptidomimetic, or a peptidic protecting group; wherein R_2 can additionally be selected from the group consisting of (SEQ ID NO:1) LPYPQPQLPY, (SEQ ID NO:2) LPFPQPQLPF-NH_2, (SEQ ID NO:3) LPYPQPQLP, (SEQ ID NO:4) LPYPQPQLPYPQPQPF, LP-$X_{2\text{-}15}$, where $X_{2\text{-}15}$ is a peptide consisting of any 2-15 amino acid residues followed by a C-terminal proline; R_3 is selected from F, I, Cl, and Br; n is from 0 to 10; and X is selected from the group consisting of O and NH,

other than {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester.

16. (currently amended) The tTGase inhibitor of Claim 15, wherein R_1 is selected from the group consisting of BnO, Me, Cbz, Fmoc, Boc, PQP, Ac-PQP, (SEQ ID NO:5) PQPQLPYPQP, (SEQ ID NO:6) Ac-PQPQLPFPQP, (SEQ ID NO:7) QLQPFPQP, (SEQ ID NO:8) LQLQPFPQPLPYPQP, $X_{2\text{-}15}$-P, where $X_{2\text{-}15}$ is a peptide consisting of any 2-15 amino acid residues followed by a N-terminal proline.

17. (original) The tTGase inhibitor of Claim 15, wherein R_2 is selected from the group consisting of (S)-Bn, (S)-CO_2Me, (S)-Me, (R)-Bn, (S)-CH_2CONHBn, (S)-(1*H*-inol-yl)-methyl, (S)-(4-hydrohy-phenyl)-methyl, OMe, OtBu, Gly, Gly-NH_2, LPY, LPF-NH_2.

18. (original) The tTGase inhibitor of Claim 15, wherein said tTGase inhibitor is selected from the group consisting of:

(S)-2-Benzyloxycarbonylamino-4-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-butyric acid methyl ester; (S)-2-Benzyloxycarbonylamino-*N*-(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-succinamic acid methyl ester; (S)-2-Benzyloxycarbonylamino-3-phenyl-propionic acid 3-bromo-4,5-dihydro-isoxazol-5-ylmethyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; (S)-2-Acetylamino-*N*-(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-3-phenyl-propionamide; {(R)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; {(S)-2-Benzylcarbamoyl-1-[(3-bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-ethyl}-carbamic acid benzyl ester; [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-carbamoyl]-2-(1*H*-indol-3-yl)-ethyl]-carbamic acid benzyl ester; {(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methyl-carbamoyl]-2-phenyl-ethyl}-carbamic acid benzyl ester; and [(S)-1-[(3-Bromo-4,5-dihydro-isoxazol-5-ylmethyl)-methyl-carbamoyl]-2-(4-hydroxy-phenyl)-ethyl]-carbamic acid benzyl ester.